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Preparation of spiro compounds as nociceptin receptor binders. Arai, Toshimitsu; Nishikimi, Yuji; Imamura, Shinichi; Kamiyama, Keiji; Kobayashi, Makoto. (Takeda Chemical Industries, Ltd., Japan). PCT Int. Appl. (2002), 112 pp. CODEN: PIXXD2 WO 2002026714 A1 20020404 Designated States W; AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM. Designated States RW; AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG. Patent written in Japanese. Application: WO 2001-JP8281 20010925. Priority: JP 2000-293876 20000927. CAN 136:294733 AN 2002:256237 CAPLUS

Patent Family Information

Patent No.	Kind	Date	Application No.	Date
WO 2002026714	A1	20020404	WO 2001-JP8281	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001088110	A5	20020408	AU 2001-88110	20010925
JP 2002173485	A2	20020621	JP 2001-291794	20010925
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Priority Application				
JP 2000-293876	A	20000927		
WO 2001-JP8281	W	20010925		

Abstract

The title compds. I [A1 and A2 are each an optionally substituted benzene ring; E is a divalent chain hydrocarbon group which may be substituted; X is CO or the like; R1 is an optionally substituted hydrocarbon group or the like, or alternatively R1 may be bonded to a ring-constituting carbon atom of A2 to form a fused ring; and the dotted line represents a single or double bond, a proviso is given] are prep'd. Processes for prep. I are claimed. In an *in vitro* test for affinity for the nociceptin receptor, N-[3-(1H-indene-1-spiro-4'-piperidin-1'-yl)propyl]-1-methyl-5-oxo-N-phenyl-3-pyrrolidinecarboxamide fumarate at 1 μ M gave 95% binding inhibition. Formulations are given.

